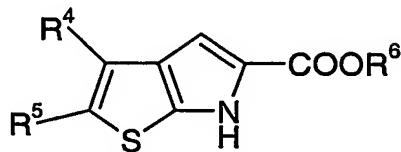


Claims

1. A process for preparing a compound of formula (I)



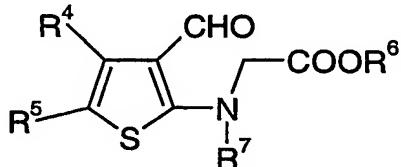
5

(I)

where R⁴ and R⁵ are independently selected from hydrogen, halo, nitro, cyano, hydroxy, fluoromethyl, difluoromethyl, trifluoromethyl, trifluoromethoxy, amino, carboxy, carbamoyl, mercapto, sulphamoyl, ureido, C₁-6alkyl, C₂-6alkenyl, C₂-6alkynyl, C₁-6alkoxy, C₁-6alkanoyl, C₁-6alkanoyloxy, N-(C₁-6alkyl)amino, N,N-(C₁-6alkyl)₂amino, C₁-6alkanoylamino,

10 N-(C₁-6alkyl)carbamoyl, N,N-(C₁-6alkyl)₂carbamoyl, C₁-6alkylS(O)_a wherein a is 0 to 2, C₁-6alkoxycarbonyl, C₁-6alkoxycarbonylamino, N-(C₁-6alkyl)sulphamoyl, N,N-(C₁-6alkyl)₂sulphamoyl, C₁-6alkylsulphonylamino and C₁-6alkylsulphonyl-N-(C₁-6alkyl)amino; and R⁶ is hydrogen or a protecting group,

which process comprises cyclisation of a compound of formula (II)



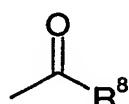
15

(II)

where R⁴, R⁵ and R⁶ are as defined in relation to formula (I) and R⁷ is a nitrogen protecting group, and removing protecting group R⁷, and thereafter if desired or necessary, removing any protecting group R⁶ to obtain the corresponding carboxylic acid.

20 2. A process according to claim 1 wherein the protecting group R⁷ is removed during the same reaction step as the cyclisation.

3. A process according to claim 1 or claim 2 wherein in structure of formula (II), R⁷ is a group of sub-formula (i)



25

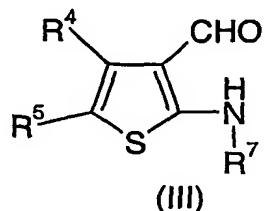
(i)

where R^8 is a straight chain alkyl group of from 1 to 6 carbon atoms.

4. A process according to any one of the preceding claims wherein R^4 and R^5 are independently selected from hydrogen, halo, nitro, cyano, fluoromethyl, difluoromethyl, 5 trifluoromethyl, trifluoromethoxy, carboxy, carbamoyl, sulphamoyl, C_{1-4} alkyl, C_{2-4} alkenyl, C_{2-4} alkynyl, C_{1-4} alkoxy, C_{1-4} alkanoyl, and C_{1-4} alkanoyloxy.

5. A compound of formula (II) as defined in claim 1.

10 6. A process for preparing a compound according to claim 5 which comprises reacting a compound of formula (III)



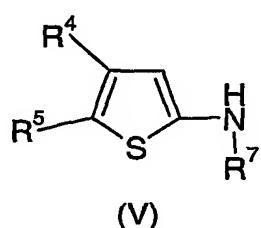
where R^4 , R^5 are as defined in claim 1, R^6 and R^7 are as defined in claim 1, with a compound of formula (IV)

15 LCH_2COOR^6
(IV)

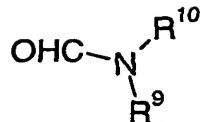
where L is a leaving group.

7. A compound of formula (III) as defined in claim 6.

20 8. A process for preparing a compound according to claim 7 which comprises reacting a compound of formula (V)



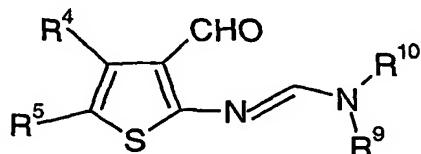
where R^4 , R^5 and R^7 are as defined in claim 1, with a compound of formula (VI)



(VI)

where R^9 and R^{10} are alkyl groups in the presence of phosphorus oxychloride.

9. A process for preparing a compound of formula (III) as defined in claim 6 by reacting
5 a compound of formula (VII)



(VII)

where R^4 and R^5 are as in claim 1 and R^9 and R^{10} are as defined in claim 8, with a compound of formula (VIII)

$$(R^7)_2O$$

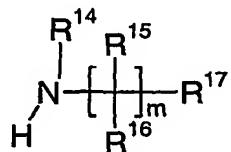
(VIII)

where R^7 are as defined in claim 1.

10. A compound of formula (VII) as defined in claim 9.

15

11. A method according to claim 1 for the production of a compound of formula (I) where R⁶ is hydrogen, and further comprising reacting the compound of formula (I) obtained with an amine of formula (XI),



(xi)

where R^{14} is selected from hydrogen and C_1 -alkyl,

m is an integer of from 0 to 4,

each R^{15} is the same or different and is selected from hydrogen, halo, nitro, cyano, hydroxy, amino, carboxy, carbamoyl, mercapto, sulphamoyl, ureido, C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl,

C_{1-6} alkoxy, C_{1-6} alkanoyl, C_{1-6} alkanoyloxy, $N-(C_{1-6}$ alkyl)amino, $N,N-(C_{1-6}$ alkyl)₂amino, C_{1-6} alkanoylamino, $N-(C_{1-6}$ alkyl)carbamoyl, $N,N-(C_{1-6}$ alkyl)₂carbamoyl, C_{1-6} alkylS(O)_a wherein a is 0 to 2, C_{1-6} alkoxycarbonyl, C_{1-6} alkoxycarbonylamino, $N-(C_{1-6}$ alkyl)sulphamoyl, $N,N-(C_{1-6}$ alkyl)₂sulphamoyl, C_{1-6} alkylsulphonylamino,

5 C_{1-6} alkylsulphonyl- $N-(C_{1-6}$ alkyl)amino, C_{3-8} cycloalkyl, C_{3-8} cycloalkyl C_{1-6} alkyl, aryl, aryl C_{1-6} alkyl, heterocyclic group and (heterocyclic group) C_{1-6} alkyl; wherein R^{15} may be optionally substituted on carbon by one or more groups selected from P and wherein if said heterocyclic group contains an -NH- moiety that nitrogen may be optionally substituted by a group selected from R;

10 each R^{16} is the same or different and is selected from hydrogen and C_{1-6} alkyl; R^{17} is selected from hydrogen, halo, nitro, cyano, hydroxy, fluoromethyl, difluoromethyl, trifluoromethyl, trifluoromethoxy, amino, carboxy, carbamoyl, mercapto, sulphamoyl, ureido, C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, C_{1-6} alkoxy, C_{1-6} alkanoyl, C_{1-6} alkanoyloxy, $N-(C_{1-6}$ alkyl)amino, $N,N-(C_{1-6}$ alkyl)₂amino, C_{1-6} alkanoylamino, 15 $N-(C_{1-6}$ alkyl)carbamoyl, $N,N-(C_{1-6}$ alkyl)₂carbamoyl, $N-(C_{1-6}$ alkyl)- $N-(C_{1-6}$ alkoxy)carbamoyl, C_{1-6} alkylS(O)_a wherein a is 0 to 2, C_{1-6} alkoxycarbonyl, C_{1-6} alkoxycarbonylamino, $N-(C_{1-6}$ alkyl)sulphamoyl, $N,N-(C_{1-6}$ alkyl)₂sulphamoyl, sulphamoylamino, $N-(C_{1-6}$ alkyl)sulphamoylamino, $N,N-(C_{1-6}$ alkyl)₂sulphamoylamino, C_{1-6} alkylsulphonylamino, C_{1-6} alkylsulphonylaminocarbonyl, C_{1-6} alkylsulphonyl- $N-(C_{1-6}$ alkyl)amino and a group

20 -E-F-G-H;

wherein E and G are independently selected from a direct bond, -O-, -S-, -SO-, -SO₂-, -OC(O)-, -C(O)O-, -C(O)-, -NR^a-, -NR^aC(O)-, -C(O)NR^a-, -SO₂NR^a-, -NR^aSO₂-, -NR^aC(O)NR^b-, -OC(O)NR^a-, -NR^aC(O)O-, -NR^aSO₂NR^b-, -SO₂NR^aC(O)- and -C(O)NR^aSO₂-, wherein R^a and R^b are independently selected from hydrogen or C_{1-6} alkyl

25 which is optionally substituted by a group V;

F is C_{1-6} alkylene optionally substituted by one or more Q or a direct bond;

H is selected from aryl, C_{3-8} cycloalkyl and heterocyclic group; wherein H may be optionally substituted on carbon by one or more groups selected from S and wherein if said heterocyclic group contains an -NH- moiety that nitrogen may be optionally substituted by a

30 group selected from T;

P, S and Q are independently selected from halo, nitro, cyano, hydroxy, trifluoromethyl, trifluoromethoxy, amino, carboxy, carbamoyl, mercapto, sulphamoyl, ureido, C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, C_{1-6} alkoxy, C_{1-6} alkanoyl, C_{1-6} alkanoyloxy,

N-(C₁₋₆alkyl)amino, *N,N*-(C₁₋₆alkyl)₂amino, C₁₋₆alkanoylamino, *N*-(C₁₋₆alkyl)carbamoyl, *N,N*-(C₁₋₆alkyl)₂carbamoyl, *N*-(C₁₋₆alkyl)-*N*-(C₁₋₆alkoxy)carbamoyl, C₁₋₆alkylS(O)_a wherein a is 0 to 2, C₁₋₆alkoxycarbonyl, C₁₋₆alkoxycarbonylamino, *N*-(C₁₋₆alkyl)sulphamoyl, *N,N*-(C₁₋₆alkyl)₂sulphamoyl, C₁₋₆alkylsulphonylamino,

5 C₁₋₆alkylsulphonyl-*N*-(C₁₋₆alkyl)amino, C₃₋₈cycloalkyl, aryl and heterocyclic group; wherein P, S and Q may be optionally and independently substituted on carbon by one or more groups selected from V and wherein if said heterocyclic group contains an -NH- moiety that nitrogen may be optionally substituted by a group selected from U;

V is selected from halo, nitro, cyano, hydroxy, trifluoromethoxy, trifluoromethyl,

10 amino, carboxy, carbamoyl, mercapto, sulphamoyl, methyl, ethyl, methoxy, ethoxy, acetyl, acetoxy, methylamino, ethylamino, dimethylamino, diethylamino, *N*-methyl-*N*-ethylamino, acetylamino, *N*-methylcarbamoyl, *N*-ethylcarbamoyl, *N,N*-dimethylcarbamoyl, *N,N*-diethylcarbamoyl, *N*-methyl-*N*-ethylcarbamoyl, methylthio, ethylthio, methylsulphanyl, ethylsulphanyl, mesyl, ethylsulphonyl, methoxycarbonyl, ethoxycarbonyl,

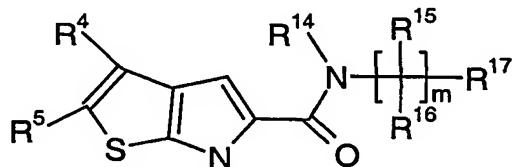
15 *N*-methylsulphamoyl, *N*-ethylsulphamoyl, *N,N*-dimethylsulphamoyl, *N,N*-diethylsulphamoyl, *N*-methyl-*N*-ethylsulphamoyl, morpholino, morpholinocarbonyl, *N*-benzylcarbamoyl, and 4-hydroxypiperidinocarbonyl;

R, T and U are independently selected from C₁₋₄alkyl, C₁₋₄alkanoyl,

C₁₋₄alkylsulphonyl, C₁₋₄alkoxycarbonyl, carbamoyl, *N*-(C₁₋₄alkyl)carbamoyl,

20 *N,N*-(C₁₋₄alkyl)carbamoyl, phenyl, benzyl, benzyloxycarbonyl, benzoyl and phenylsulphonyl wherein R, T and U may be optionally and independently substituted on carbon by one or more groups selected from V;

to produce a compound of formula (XII)



25

(XII)

where R⁴, R⁵, R¹⁵, R¹⁶, R¹⁷ and m are as defined above, or a pharmaceutically acceptable salt or an *in vivo* hydrolysable ester thereof.